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5 Preface by Kiyoshi Tomioka
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683 Indexes

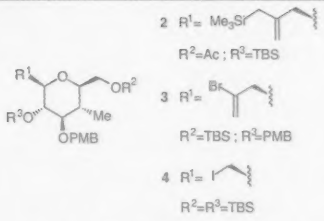
■ Celebration of A. I. Meyers

1 Curriculum Vitae
3 Preface by Peter Beak
5 Preface by Kiyoshi Tomioka
9 Publications

COMMUNICATIONS

43 Highly Functionalized Pyrans Designed for Multipoint Side Chain Attachment to the F-Ring Sector of Spongistatin 1 (Altohyrtin A)

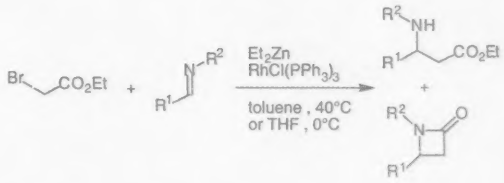
Il Hwan Cho and Leo A. Paquette*



D-(+)-Mannose Samarium Iodide Reduction Reductive Deoxygenation Allylsilane Rearrangement Triflate Displacement

47 Selective Synthesis of β-Amino Esters and β-Lactams by Rhodium-catalyzed Reformatsky-Type Reaction

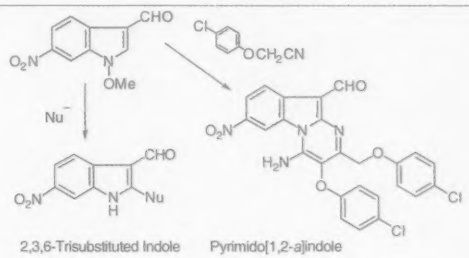
Kazuo Kanai, Hitoshi Wakabayashi, and Toshio Honda*



β-Amino Ester β-Lactam Reformatsky Reaction Rhodium Catalyst Wilkinson Catalyst

53 Nucleophilic Substitution Reactions of 1-Methoxy-6-nitroindole-3-carbaldehyde

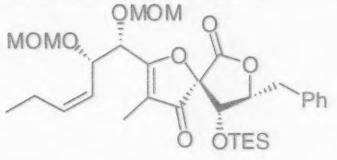
Koji Yamada, Fumio Yamada, Takei Shiraishi, Saori Tomioka, and Masanori Somei*



Indoline 1-Hydroxy-6-nitroindole 1-Methoxy-6-nitroindole 2,3,6-Trisubstituted Indole Pyrimido[1,2-a]indole

57 Stereocontrolled Synthesis of a Highly Functionalized 1,7-Dioxaspiro[4.4]-nonane Derivative Related to Antibiotic Pseurotins

Shin-ya Aoki, Takahiro Ohi, Kazuya Shimizu, Ryota Shiraki, Ken-ichi Takao, and Kin-ichi Tadano*

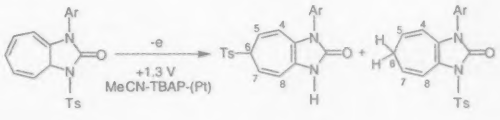


A D-glucose-derived spirocyclic compound for pseurotins synthesis

Chiral Synthesis γ-Lactam Antibiotic Aldol Reaction Benzyl Grignard Reaction Heterospirocyclic System

63 Electrochemical Oxidations of 1,3-Dihydro-1,3-diazaazulanones and Effects of Reaction Temperature

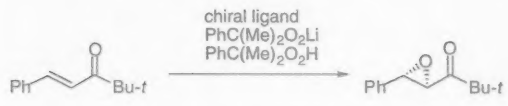
Katsuhiro Saito,* Yosuke Ueda, Ayako Kawamura, Akiyoshi Kajita, Hiroyuki Ishiguro, Takayasu Ido, Katsuhiko Ono, and Yasutaka Awadu



Nonbenzenoid Aromatic Compound 1,5-Hydrogen Migration Electrolysis Reaction Coordinate OREP Drawing

71 **Chiral Ligand-controlled Catalytic Asymmetric Epoxidation of Enone with Hydroperoxide**

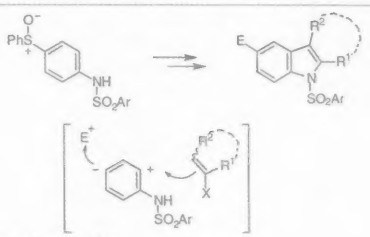
Yoshihito Tanaka, Katsumi Nishimura, and Kiyoshi Tomioka*



Conjugate Addition Lithium Cumene Hydroperoxide Enantioselectivity Slow Addition Chiral Ligand

75 **Regioselective Synthesis of 2,3,5-Trisubstituted Indoles from *p*-Sulfinyl-aniline by Dual Use of the Sulfinyl Group**

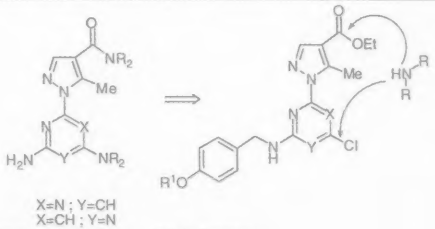
Shuji Akai, Norihito Kawashita, Nobuyoshi Morita, Yuka Nakamura, Kiyosei Iio, and Yasuyuki Kita*



Aromatic Pummerer-Type Reaction Ligand Exchange Reaction Sulfoxide Indoline

79 **Solid-Phase Synthesis of 2-(4-Carbamoylpyrazolyl)-4-alkylamino-6-aminopyrimidine Derivatives**

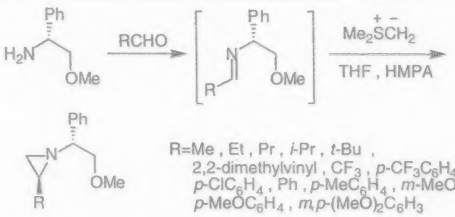
Makoto Haruta, Akio Ejima, Hiroshi Tanaka, and Takashi Takahashi*



Combinatorial Chemistry Solid-Phase Synthesis Chemical Library Amidation SN-Ar Reaction

85 **Facile Asymmetric Synthesis of Aziridine Derivatives *via* the Diastereoselective Reaction of Chiral Imines with Dimethylsulfonium Methylide**

Kimio Higashiyma,* Masataka Matsumura, Ayako Shiogama, Takayasu Yamauchi, and Sigeru Ohmiya

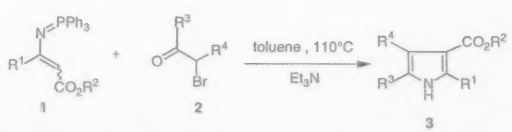


R=Me , Et , Pr , *i*-Pr , *t*-Bu , 2,2-dimethylvinyl , CF_3 , *p*-$CF_3C_6H_4$, *p*-ClC_6H_4 , Ph , *p*-MeC_6H_4 , *m*-$MeOC_6H_4$, *p*-$MeOC_6H_4$, *m,p*-$(MeO)_2C_6H_3$

Chiral Aziridine Sulfur Ylide Imine Phenylglycinol Chelation

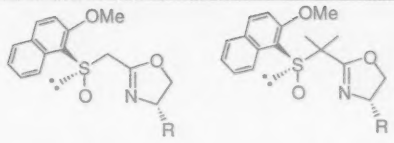
89 **Synthesis of Substituted Pyrroles from *N*-Vinylic Phosphazenes Derived from β-Amino Acids and α-Bromo Ketones**

Francisco Palacios,* Esther Herrán, and Gloria Rubiales



Pyrrole Phosphazene β-Amino Acid Heterocycle Aza-Wittig Reaction

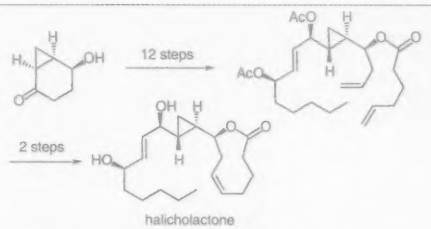
93 **Cationic Copper(II)-Oxazoline-Sulfoxide Catalysts: Application to Asymmetric Diels-Alder Reactions**
Kazuhiro Watanabe, Takashi Hirasawa, and Kunio Hiroi*



(*Rs*,*S*)-**1a** R=*t*-Bu (*Ss*,*S*)-**2a** R=*t*-Bu
b =Ph **b** =Ph
c =Bn **c** =Bn

Asymmetric Reaction Chiral Ligand Lewis Acid [4+2] Cycloaddition

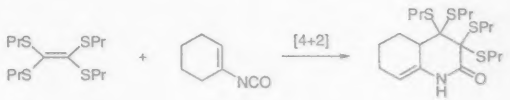
99 **Total Synthesis of (-)-Halicholactone**
Taichi Takahashi, Hidenori Watanabe, and Takeshi Kitahara*



halicholactone

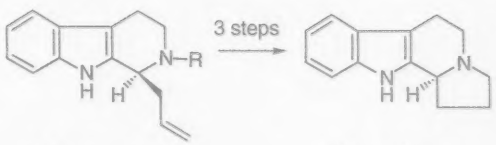
Halicholactone (1*S*,5*S*,6*R*)-5-Hydroxybicyclo[4.1.0]heptan-2-one RCM Reaction Oxylipine 5-Lipoxygenase

105 **Mechanistic Studies on the Reactions of Bis(alkylthio)-carbenes with Vinyl Isocyanates**
James H. Rigby,* Nancy A. Neale, and H. Bernhard Schlegel



Cycloaddition Nucleophilic Carbene Computational Method Pyridone

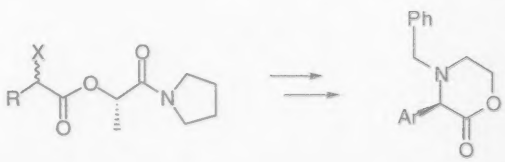
115 **Concise Syntheses of Harmicine and a Pyrrolidinoisoquinoline Derivative Using Chiral 1-Allyl Adducts of β-Carboline and Isoquinoline as Starting Materials**
Takashi Itoh, Michiko Miyazaki, Kazuhiro Nagata, Masashi Yokoya, Shigeru Nakamura, and Akio Ohsawa*



R=$CO_2CH_2CCl_3$ (-)-harmicine

Asymmetric Synthesis Indole Alkaloid Isoquinoline Alkaloid Harmicine Asymmetric Addition

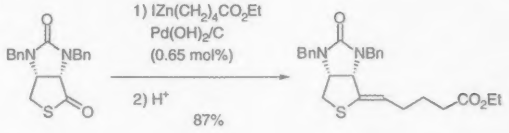
119 **An Asymmetric Synthesis of 3-Aryl-1,4-oxazin-2-ones: Synthesis of a Key Intermediate of an NK_1 Receptor Antagonist**
Paul N. Devine,* Bruce S. Foster, Edward J. J. Grabowski, and Paul J. Reider



Cyclization Chiral Oxazinone Lactamide

125 A Practical Synthesis of (+)-Biotin through Palladium Hydroxide on Charcoal-catalyzed Fukuyama Coupling Reaction

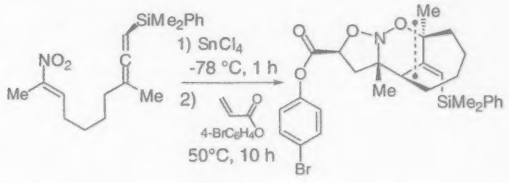
Yoshikazu Mori and Masahiko Seki*



Pearlman's Catalyst Zinc Reagent Vitamin

129 Inter- and Intramolecular [4+2] Cycloaddition of Nitroalkenes with Allenylsilanes. A Case of Unexpected Regioselectivity

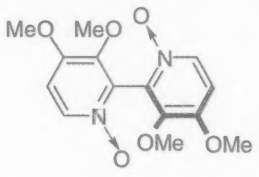
Scott E. Denmark* and Laurent Gomez



Tandem Cycloaddition Nitroalkene Allenylsilane Nitroso Acetal Rearrangement

137 Studies on a Chirality of Orellanine. Spectral Nonequivalence of Atrop-isomers of Tetra-*O*-methylorellanine and Related Compounds Induced by Chiral Solvating Agents

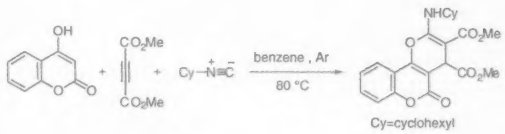
Róża Antkowiak, Wiesław Z. Antkowiak,* and Barbara Nowak-Wydra



Conformational Enantiomer NMR Spectrum Bipyridine Alkaloid Diastereomeric Solvate Selected TOCSY

147 An Efficient Multicomponent Reaction Involving the Interception of the Zwitterionic Intermediate between DMAD and Isocyanides with Some Active Methylene Compounds

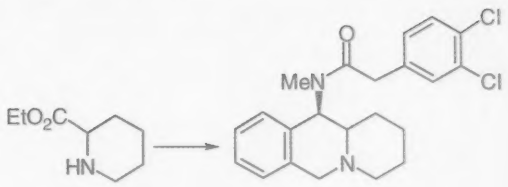
Vijay Nair,* A. U. Vinod, R. Ramesh, Rajeev S. Menon, Luxmi Varma, Saumini Mathew, and Angele Chiaroni



Multicomponent Reaction Zwitterion Isocyanide Protic Nucleophile Pyran Heterocycle

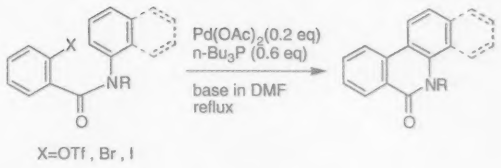
■ PAPERS

153 Extension of the SAR in the 1,2-Diaminocyclohexane Phenylacetamide Template
Shikai Zhao, Stephen A. Mizsak, Jeremiah P. Freeman, and Jacob Szmuszkovicz*



Analgesic κ-Receptor Benzoquinolizidine

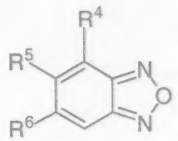
159 Aryl-Aryl Coupling Reaction Catalyzed by a Palladium Reagent Prepared from Pd(OAc)2 and n-Bu3P
Takashi Harayama,* Akihiro Hori, Yuichiro Nakano, Toshihiko Akiyama, Hitoshi Abe, and Yasuo Takeuchi



X=OTf , Br , I

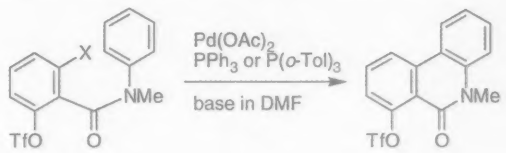
Biaryl Coupling Aromatic Triflate Aromatic Halide Phenanthridone Monodentate Phosphine Ligand

165 Synthesis and Fluorescence Properties of 4,5-, 4,6- and 5,6-Disubstituted Benzofurazan (2,1,3-Benzoxadiazole) Compounds
Natsuko Okiyama, Seiichi Uchiyama, Maki Onoda, Kazuhiro Imai, and Tomofumi Santa*



Fluorescent Reagent Fluorescence Quantum Yield Absorption Wavelength Emission Wavelength Solvent Effect

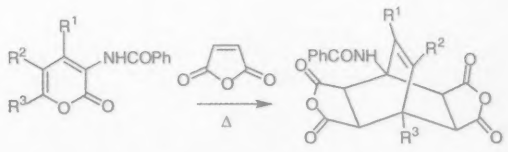
175 Studies on the Selective Intramolecular Biaryl Coupling Reaction of 2-Triflyloxy-6-halobenzanilides Using a Palladium Reagent
Takashi Harayama,* Hiroko Toko, Kyoko Kubota, Hiromi Nishioka, Hitoshi Abe, and Yasuo Takeuchi



X=I , Br

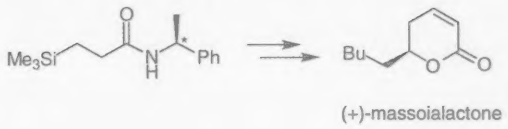
Substituted Phenanthridone Selective Coupling Triflyloxy Group Halo Group Palladium

183 Diels-Alder Cycloaddition of Highly Substituted Pyran-2-ones with Maleic Anhydride
Krištof Kranjc, Ivan Leban, Slovenko Polanc, and Marijan Kočevar*



Diels-Alder Reaction Bicyclo[2.2.2]oct-7-ene Fused Furan Structural Investigation Pyran-2-one

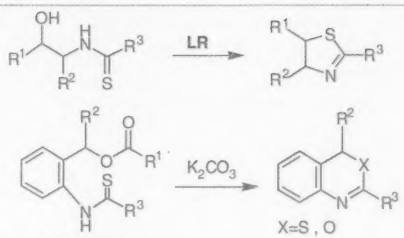
191 Diastereoselective Reactions of a Homoenolate Generated from (*S*)-*N*-(1-Phenylethyl)-3-(trimethylsilyl)propanamide: Enantioselective Synthesis of (+)-Massoialactone
Naoko Sadayori, Asako Kawatoh, Ryoko Sakurazawa, Tomoko Utsumi, Miharu Inagaki, and Morio Asaoka*



(+)-massoialactone

α-Silylcarbanion Dianion Iodolactonization Epoxy Ester 2-Penten-5-olide

203 Sulfur-containing Heterocycles Derived by Reaction of *N*-Thioacylamino Alcohols with Lawesson's Reagent and Saponification of *N*-Thioacylamino Esters
Takehiko Nishio* and Hiroshi Sekiguchi

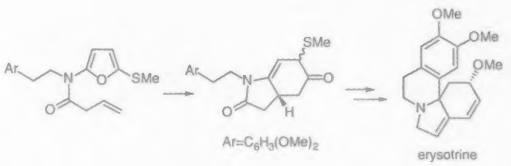


Thionation Lawesson's Reagent Thiazoline 3,1-Benzothiazine 3,1-Benzoxazine

213 Some Unexpected Reactions of 2,2-Bis(trifluoromethyl)-1,3-oxazolidin-5-ones
Gábor Radics, Hartmut Schedel, Elisabeth Heistracher, Joachim Sieler, Lothar Hennig, and Klaus Burger*

1,3-Oxazolidine-4-carboxylic Acid 2(5*H*)-Furanone 1,3-Dioxolane 5-*endo-trig* Ring Closure Retro Ene Reaction

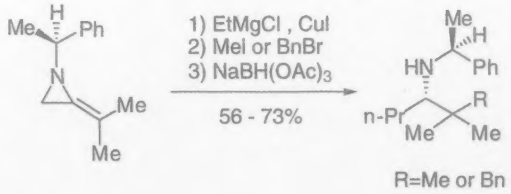
227 A New Construct of the *cis*-3*a*-Aryloctahydroindole Skeleton *via* the [4+2] Cycloaddition of Furanyl Carbamates
Albert Padwa,* Cheryl K. Eidell, and Stephen M. Lynch



erysotrine

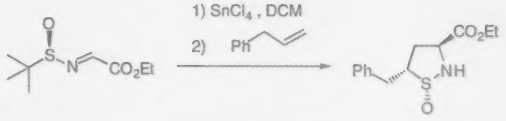
Amidofuran Diels-Alder Reaction Benzoerythrinane Erythrina

243 Multi-component Reactions of 2-Isopropylideneaziridines: Application to the Synthesis of Enantiopure Neopentylamines
Jerome F. Hayes, Michael Shipman,* Alexandra M. Z. Slawin, and Heather Twin



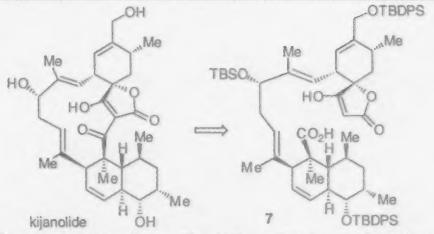
Amine Aziridine Stereocontrol

251 Imino Ene Reactions of Enantiopure *N*-Sulfinylimino Esters: Asymmetric Synthesis of 1-Oxo-1 λ^4-isothiazolidine-3-carboxylates
Franklin A. Davis,* Junya Qu, Vaidyanathan Srirajan, Reni Joseph, and Donald D. Titus



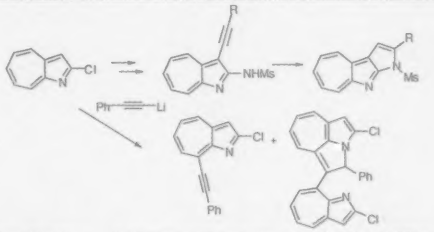
Sulfinimine Strecker Synthesis α-Amino Acid

259 Studies on the Synthesis of Kijanolide: Synthesis of an Advanced Seco-acid Intermediate
William R. Roush,* Hou Chen, and Melissa L. Reilly



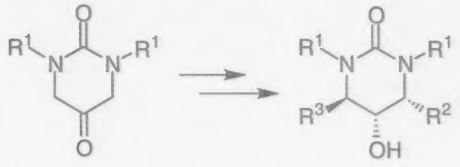
Spirotetronate Antibiotic Intramolecular Diels-Alder Reaction Asymmetric Allylboration Suzuki Cross-Coupling Cyclization

283 Synthesis and Reactions of 3- and 8-Ethynyl-1-azaazulenes
Hiroyuki Fujii, Noritaka Abe,* Noritaka Umeda, and Akikazu Kakehi



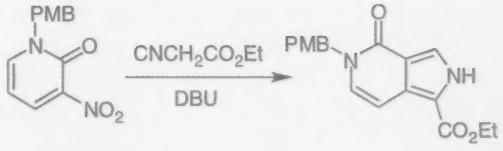
Fused 1-Azaazulene Derivative Cyclization Sonogashira-Hagihara Reaction X-Ray Structure Analysis Nucleophilic Addition

293 Asymmetric Synthesis of 4,6-Disubstituted 1,2,3,4,5,6-Hexahydro-5-hydroxypyrimidin-2-ones as Potential HIV-Protease-Inhibitors
Dieter Enders* and Lars Wortmann



AIDS Hydrazone Asymmetric Synthesis HIV Protease

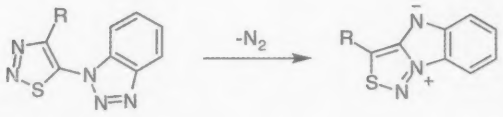
301 Preparation of Novel Heteroisoindoles from Nitropyridines and Nitropyridones
Takashi Murashima,* Keiji Nishi, Ken-ichi Nakamoto, Atsushi Kato, Ryuji Tamai, Hidemitsu Uno, and Noboru Ono*



Barton-Zard Pyrrole Synthesis Pyrrole Fused with Heteroarene Imidazole Tandem Cyclization

311 **4*H*-[1,2,3]-Thiadiazolo[3,4-*a*]-benzimidazoliumides**

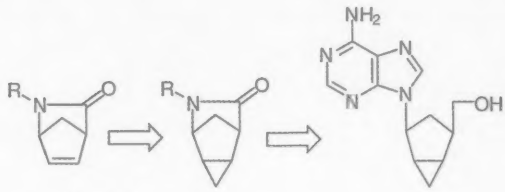
Alan R. Katritzky,* George N. Nikonov, Dmytro O. Tymoshenko, and Peter J. Steel



1,2,3-Thiadiazole Rearrangement Zwitter-ion 4*H*-[1,2,3]Thiadiazolo[3,4-*a*]benzimidazolo-2-ium-4-ide Thiirene

317 **Preparation of 2',3'-Methano-carbocyclic Nucleosides through the Addition of Diazomethane to 2-Azabicyclo[2.2.1]hept-5-en-3-one**

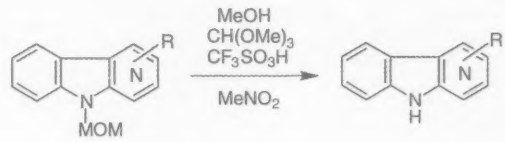
Minoru Ishikura,* Atsushi Murakami, and Nobuya Katagiri



ABH Adenosine Palladium Catalyst Cyclopropane Bicyclo[3.1.0]hexane

325 **A New Deprotection Procedure for the *N*-Methoxymethyl Group of *N*-Methoxymethyl-heterocyclic Compounds**

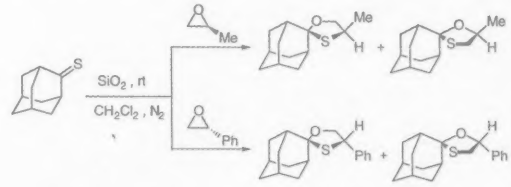
Takeshi Kuwada, Miyako Fukui, Maho Hirayama, Junko Nobuhiro, Tominari Choshi, and Satoshi Hibino*



N-Methoxymethyl Group Deprotection Procedure Carboline *N*-Heterocyclic Compound

333 **Silica Gel-catalyzed Regio- and Stereoselective Reactions of Thiocarbonyl Compounds with Optically Active Monosubstituted Oxiranes**

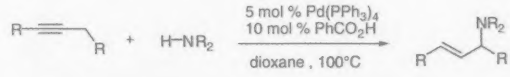
Changchun Fu, Anthony Linden, and Heinz Heimgartner*



1,3-Oxathiolane Thioketone 1,3-Thiazole-5(4*H*)-thione 1,3-Dioxolane Oxirane

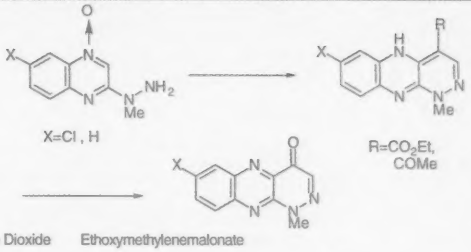
347 **Hydroamination of Alkynes Catalyzed by Palladium/Benzoic Acid**

Leopold Mpaka Lutete, Isao Kadota, Akinori Shibuya, and Yoshinori Yamamoto*



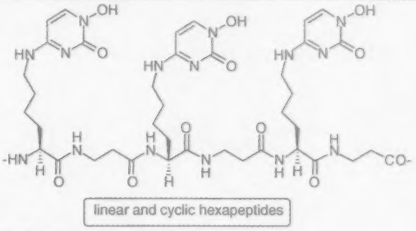
Pronucleophile Allylamine Piperidine Pyrrolidine Addition

359 Quinolone Analogues 5. Synthesis of 1-Methylpyridazino[3,4-*b*]quinoxalin-4(1*H*)-ones
Yoshihisa Kurasawa,* Jun Takizawa, Yuka Maesaki, Ayaka Kawase, Yoshihisa Okamoto, and Ho Sik Kim



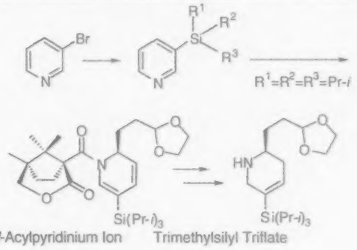
Quinoxaline *N*-Oxide Oxidation Nitrous Acid Selenium Dioxide Ethoxymethylenemalonate

371 Synthesis of Linear and Cyclic Hexapeptides with N^6-(1,2-Dihydro-1-hydroxy-2-oxopyrimidin-4-yl)-L-lysyl-β-alanyl as the Repeating Unit and Properties of Their Iron(III) Complexes
Akira Katoh,* Yuichi Inoue, Hitoshi Nagashima, Yasushi Hikita, Junko Ohkanda, and Ryota Saito



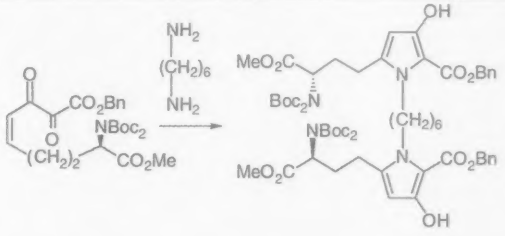
Hydrogen Bond Stability Constant Absolute Configuration Iron(III) Removal Transferrin

383 Synthesis of Sterically Demanding 3-Silylpyridines and Their Use in Asymmetric Synthesis with Chiral *N*-Acyliminium Ions
Cornelia E. Hoesl, Jörg Pabel, Kurt Polborn, and Klaus Th. Wanner*



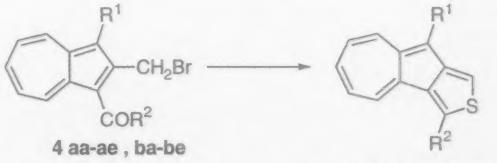
α-Amidoalkylation Dienamide Reduction 1,2-Dihydropyridine *N*-Acylpyridinium Ion Trimethylsilyl Triflate

393 Formation of Heterocyclic Derivatives of α-Amino Acids Using Vicinal Tricarbonyl Methodology
Harry H. Wasserman,* Yun Oliver Long, Rui Zhang, and Jonathan Parr



Diylide Aspartic Acid Glutaric Acid

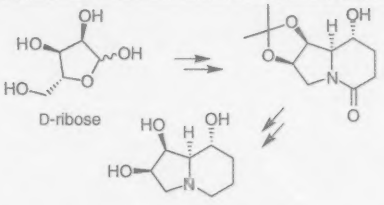
405 A New Synthetic Approach to Azuleno[1,2-*c*]thiophenes
Kimiaki Imafuku* and Dao-Lin Wang



1-Acyl-2-bromomethylazulene Thioacetamide Cyclization Heterocycle-fused Azulene Azuleno[1,2-*e*]thiophene

421 **A Synthesis of (1*S*,2*R*,8*R*,8a*R*)-8-Hydroxy-1,2-(isopropylidinedioxy)indolizidin-5-one from D-Ribose: Improved Access to (-)-Swainsonine and Its Analogs**

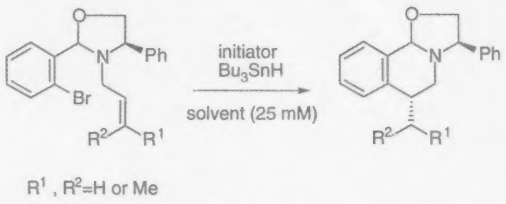
William H. Pearson,* Yi Ren, and Jeremiah D. Powers



Claisen Rearrangement Sharpless Asymmetric Dihydroxylation Reductive Amination Mannosidase Inhibitor

431 **Aryl Radical Cyclization of Chiral 3-Allyl-2-(2-bromophenyl)-1,3-oxazolidines with Tributyltin Hydride**

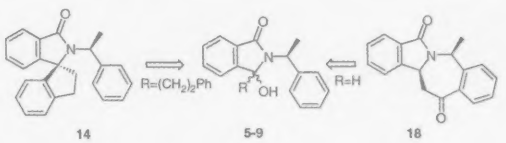
Takayasu Yamauchi, Jumpei Sugiyama, and Kimio Higashiyama*



1,2,3,4-Tetrahydroisoquinoline Phenylglycinol Stereoselectivity Oxazolidine Oxazolo[2,3-*a*]tetrahydroisoquinoline

449 **Studies on (1*S*)-*N*-(1-Phenylethyl)-phthalimide: Synthesis of Both Chiral Spiro Indane and Benzazepine Derivatives**

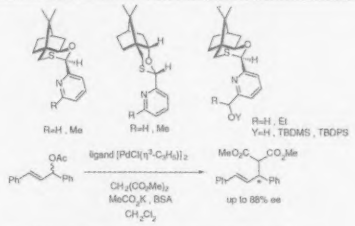
Abderrahim Chihab-Eddine, Adam Daïch,* Abderrahim Jilale, and Bernard Decroix



N-Acyliminium Ion Cyclization Diastereoselectivity Felkin-Ahn Model Grignard Reaction

457 **New Chiral Ligands, Pyridinooxathianes, for Palladium-catalyzed Asymmetric Allylic Alkylation**

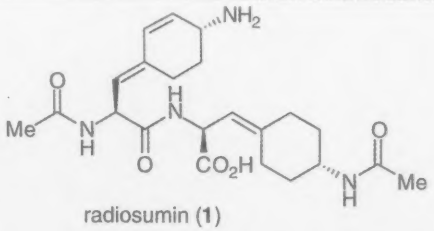
Yuko Okuyama, Hiroto Nakano,* Chizuko Kabuto, Erica Nozawa, Kouichi Takahashi, and Hiroshi Hongo



C-C Bond Formation Pd-Catalyzed Allylation S-N Typed Ligand Pyridinooxathiane 10-Mercaptoisoborneol

471 **Total Synthesis and Absolute Configuration of Radiosumin, a Strong Trypsin Inhibitor from the Blue-Green Alga *Plectonema radiosum***

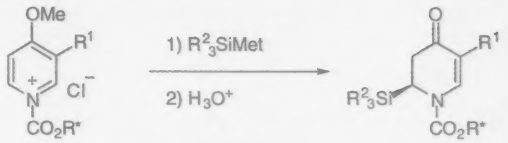
Hirohide Noguchi, Toyohiko Aoyama,* and Takayuki Shioiri*



Dipeptide Hetero Diels-Alder Reaction Unusual Amino Acid

505 Synthesis and Reactions of Enantiopure 1-Acyl-2-[triaryl(alkyl)silyl]-2,3-dihydro-4-pyridones

Daniel L. Comins,* Michael O. Killpack, Emilie Despagnet, and Emmanuel Zeller

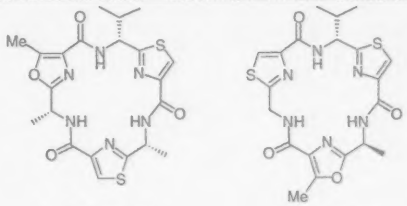


R*=cyclohexyl-based chiral auxiliaries

Dihydropyridone Asymmetric Synthesis 1-Acylpyridinium Salt Stereoselectivity Conjugate Addition

521 Dendroamide A, Nostocyclamide and Related Cyclopeptides from Cyanobacteria. Total Synthesis, together with Organised and Metal-templated Assembly from Oxazole and Thiazole-based Amino Acids

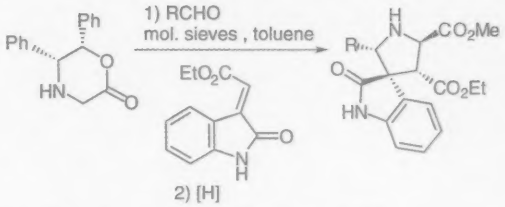
Anna Bertram and Gerald Pattenden*



Cyclooligomerisation

563 The Synthesis of Spirooxindole Pyrrolidines *via* an Asymmetric Azomethine Ylide [1,3]-Dipolar Cycloaddition Reaction

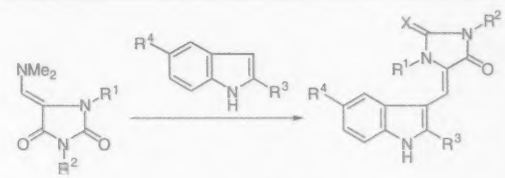
Paul R. Sebahar and Robert M. Williams*



Spirotryprostatin B Diphenylmorpholinecarboxylate Oxindolylideneacetate Asymmetric Synthesis Amino Acid

577 A Synthesis of Some Novel 2-Phenyl- and 5-Bromo-substituted Aplysinopsin Analogues

Lovro Selič, Simon Rečnik, and Branko Stanovnik*

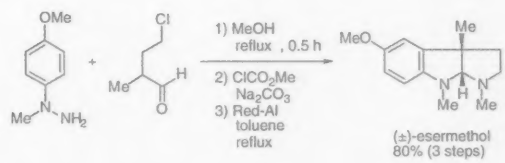


R^1, R^2=H , Me ; R^3=H , Ph ; R^4=H , Br ; X=O , S

Aplysinopsin Enamine Hydantoin Indole

587 Simple Synthesis of Racemic Pyrrolo[2,3-*b*]indoles: Formal Total Synthesis of (±)-Physostigmine

Riichiro Tsuji, Masako Nakagawa, and Atsushi Nishida*

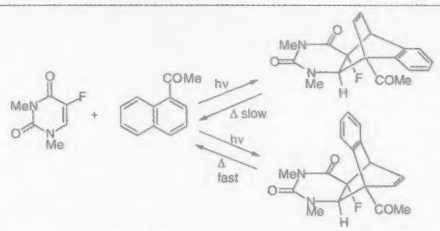


(±)-esermethol
80% (3 steps)

(±)-Esermethol Alzheimer's Disease Fischer Indole Synthesis Cyclization Acetylcholinesterase Inhibitor

595 **Thermodynamically Controlled Photocycloaddition of 5-Fluoro-1,3-dimethyluracil to Naphthalenes**

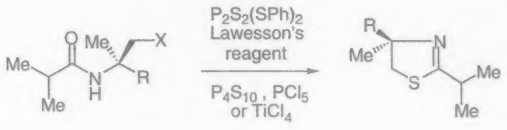
Kazue Ohkura, Tatsuyuki Sugaoi, Akiyo Sakushima, Ken-ichi Nishijima, Yuji Kuge, and Koh-ichi Seki*



1,4-Cycloaddition Photochromic Process 5-Fluoro-1,3-dimethyluracil 1-Acetonaphthone *trans*-Ethenobenzo[*f*]quinazoline

601 **Thiazoline Ring Formation from 2-Methylcysteines and 2-Halomethylalanines**

Brant L. Kedrowski and Clayton H. Heathcock*



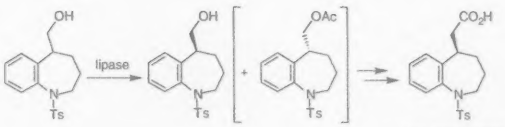
X=Cl , I , SR'
R=CO_2Me , oxazole

Cyclodehydration Cyclization Peptide Thionation

■ NOTES

635 **An Efficient Synthesis of a Key Intermediate for Vasopressin V_2 Receptor Agonist OPC-51803 by Lipase-catalyzed Enantioselective Transesterification**

Tadaaki Ohtani, Kazuyoshi Kitano,* Jun Matsubara, Seiji Morita, Yoshikazu Kawano, Makoto Komatsu, Masahiko Bando, Masaru Kido, Minoru Uchida, and Fujio Tabusa

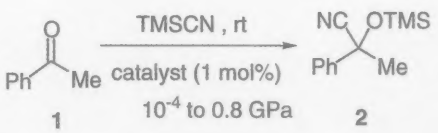


key intermediate for OPC-51803

Kinetic Resolution Benzazepine Primary Alcohol Chiral Carbon Atom Preparative Scale

645 **Asymmetric Trimethylsilylcyanation of Acetophenone Catalyzed by Cinchona Alkaloids**

Michael C. K. Choi, Shu-Sun Chan, Man-Kong Chan, Jong Chul Kim, and Kiyoshi Matsumoto*

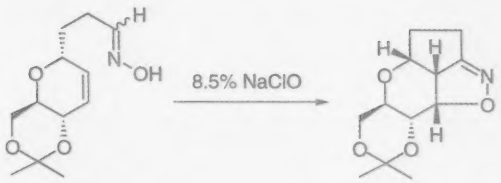


1 2

Solvent Effect Effect of Pressure High Pressure Reaction Cyanohydrin Chiral Gas Chromatography

655 **A Concise Synthesis of a Potential Key Intermediate for 12-*epi*-Mycalamide A**

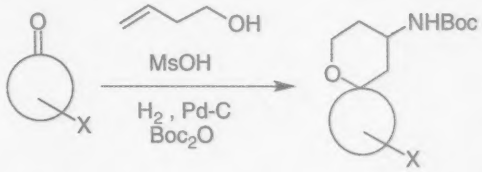
Marcellino Rudyanto, Masahiro Toyota,* Natsuko Kagawa, and Masataka Ihara*



Mycalamide A 12-*epi*-Mycalamide A Immunosuppressant Nitrile Oxide Cycloaddition Isoxazoline

659 **Multicomponent Reactions: Synthesis of Spirocyclic Tetrahydropyran Derivatives by Prins Cyclization**

Arun K. Ghosh,* Dongwoo Shin, and Gary Schiltz

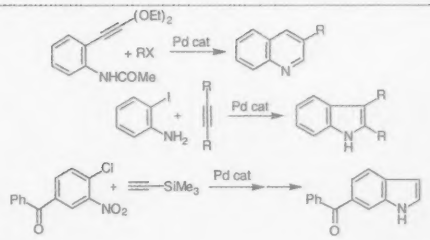


Amine Heterocycle Ketone Mesylate Synthesis

■ REVIEW

667 **Nitrogen-containing Heterocycles *via* Palladium-catalyzed Reaction of Alkynes with Organic Halides or Triflates**

Sandro Cacchi,* Giancarlo Fabrizi, and Luca M. Parisi



Carbopalladation Aminopalladation Coupling Cyclization

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